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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008			
NEWS	HOURS		STN Operating Hours Plus Help Desk Availability
NEWS	LOGIN		Welcome Banner and News Items
NEWS	IPC8		For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:07:47 ON 19 MAY 2008

=>

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:08:21 ON 19 MAY 2008

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STRUCTURE FILE UPDATES: 18 MAY 2008 HIGHEST RN 1021422-05-8

DICTIONARY FILE UPDATES: 18 MAY 2008 HIGHEST RN 1021422-05-8

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s gepirone

L1 3 GEPIRONE

=> s gepirone/cn

L2 1 GEPIRONE/CN

=> d

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 83928-76-1 REGISTRY

ED Entered STN: 16 Nov 1984

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

OTHER NAMES:

CN Gepirone

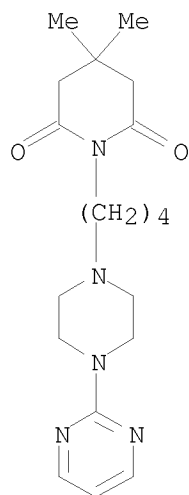
DR 104699-09-4

MF C19 H29 N5 O2

CI COM

LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CIN, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)

Other Sources: WHO



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

282 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 283 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> sel rn name  
 E1 THROUGH E2 ASSIGNED

=> fil capl uspatf wpids  
 COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
13.20	13.41

FILE 'CAPLUS' ENTERED AT 13:08:57 ON 19 MAY 2008  
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 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 13:08:57 ON 19 MAY 2008  
 CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIDS' ENTERED AT 13:08:57 ON 19 MAY 2008  
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=> s e1-2  
 L3 1017 (GEPİRONE/BI OR 83928-76-1/BI)

=> s sexual or impoten? or orgasm? or arousal  
 L4 77033 SEXUAL OR IMPOTEN? OR ORGASM? OR AROUSAL

=> s l3 and l4  
 L5 262 L3 AND L4

=> s l3 (S) l4  
 L6 20 L3 (S) L4

=> dup rem l6  
 PROCESSING COMPLETED FOR L6

L7 19 DUP REM L6 (1 DUPLICATE REMOVED)

=> d ibib abs 15-19

L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:796251 CAPLUS

DOCUMENT NUMBER: 142:86471

TITLE: Gepirone extended-release treatment of anxious depression: evidence from a retrospective subgroup analysis in patients with major depressive disorder

AUTHOR(S): Alpert, Jonathan E.; Franznick, Dana A.; Hollander, Steven B.; Fava, Maurizio

CORPORATE SOURCE: Depression Clinical and Research Program, Massachusetts General Hospital, Boston, USA

SOURCE: Journal of Clinical Psychiatry (2004), 65(8), 1069-1075

CODEN: JCLPDE; ISSN: 0160-6689

PUBLISHER: Physicians Postgraduate Press, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of this study was to evaluate the efficacy and tolerability of gepirone extended-release (ER) tablets in patients with major depressive disorder (MDD) and high ratings of anxiety (anxious depression). This subgroup anal. was derived from an 8-wk, double-blind, placebo-controlled study of gepirone ER in patients with MDD. Male and female patients 18 to 69 yr of age who met DSM-IV criteria for MDD and had high ratings of anxiety (Hamilton Rating Scale for Depression [HAM-D-17] total score  $\geq 20$  and HAM-D-17 factor I [anxiety/somatization] score  $> 6$ ) were included in this subgroup anal. Eligible patients with anxious depression were randomly assigned to receive either placebo or gepirone ER, 20 mg to 80 mg daily. Patient assessments were performed at weeks 1, 2, 3, 4, 6, and 8. Treatment efficacy was evaluated by mean HAM-D-17 total scores and mean changes from baseline in (1) HAM-D-17 total scores, (2) HAM-D-17 factor I (anxiety/somatization) scores, and (3) HAM-D-17 item 12 (anxiety, psychic) scores. All statistical tests were 2-sided and considered statistically significant if the p value was  $< .05$ . Between-group comparisons were analyzed using least-squares anal. of variance on the change from baseline at each visit with the last observation carried forward (LOCF). The Cochran-Mantel-Haenszel test adjusting for center was also performed on the percentage of patients in each treatment group at each visit (LOCF) who met the response criterion on the HAM-D-17 ( $\geq 50\%$  decrease from baseline) or remission criterion (HAM-D-17 total score  $\leq 7$ ). Gepirone ER-treated patients ( $N = 58$ ) experienced a statistically significant ( $p < .05$ ) reduction in mean HAM-D-17 total score at week 3, 6, and 8 compared with placebo-treated patients ( $N = 75$ ). A statistically significant effect ( $p < .05$ ) in favor of gepirone ER was observed in mean change from baseline in HAM-D-17 total scores and for HAM-D factor I (anxiety/somatization) scores from week 2 onward. A statistically significant ( $p \leq .01$ ) effect in favor of gepirone ER was observed in HAM-D-17 item 12 (anxiety, psychic) scores throughout the 8-wk trial. There were significantly more patients in the gepirone ER group compared with the placebo group who were HAM-D-17 responders ( $p < .05$ ) at endpoint and who met the criteria for HAM-D-17 remission at week 3 ( $p < .05$ ) and weeks 6 and 8 ( $p < .01$ ). Overall, gepirone ER was well tolerated, with rates of weight gain and sexual dysfunction comparable to placebo. Adverse events were generally mild to moderate. The most commonly reported adverse events were dizziness and nausea. Gepirone ER is an effective and well-tolerated treatment for patients with anxious depression.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2003:146832 USPATFULL  
TITLE: Antidepressant chroman and chromene derivatives of  
3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole  
INVENTOR(S): Gross, Jonathan Laird, Robbinsville, NJ, UNITED STATES  
Mewshaw, Richard Eric, King of Prussia, PA, UNITED STATES  
Stack, Gary Paul, Ambler, PA, UNITED STATES  
PATENT ASSIGNEE(S): Wyeth, Madison, NJ (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003100579	A1	20030529
	US 6667322	B2	20031223
APPLICATION INFO.:	US 2002-264376	A1	20021004 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-328120P	20011009 (60)
	US 2001-327417P	20011005 (60)
	US 2001-327400P	20011005 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Rebecca R. Barrett, Five Giralda Farms, Madison, NJ, 07940	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1278	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Compounds of the formula ##STR1##

useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 17 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2003:113527 USPATFULL  
TITLE: Antidepressant azaheterocyclylmethyl derivatives of  
7,8-dihydro-6H-5-oxa-1-aza-phenanthrene  
INVENTOR(S): Zhao, Rulin, Pennington, NJ, UNITED STATES  
Tran, Megan, Hoboken, NJ, UNITED STATES  
Mewshaw, Richard E., King of Prussia, PA, UNITED STATES  
Stack, Gary P., Ambler, PA, UNITED STATES  
PATENT ASSIGNEE(S): Wyeth, Madison, NJ, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003078268	A1	20030424
	US 6903110	B2	20050607
APPLICATION INFO.:	US 2002-201862	A1	20020724 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-307667P	20010725 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Rebecca R. Barrett, 5 Giralda Farms, Madison, NJ, 07940	
NUMBER OF CLAIMS:	20	

EXEMPLARY CLAIM: 1  
LINE COUNT: 943  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Compounds of the formula ##STR1##

useful for the treatment of such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addition, sexual dysfunction (including premature ejaculation), and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:320602 CAPLUS

DOCUMENT NUMBER: 139:47026

TITLE: Gepirone extended-release: New evidence for efficacy in the treatment of major depressive disorder

AUTHOR(S): Feiger, Alan D.; Heiser, Jon F.; Shrivastava, Ram K.; Weiss, Kenneth J.; Smith, Ward T.; Sitsen, J. M. A.; Gibertini, Michael

CORPORATE SOURCE: Feiger Health Research Center, Wheat Ridge, CO, 80033, USA

SOURCE: Journal of Clinical Psychiatry (2003), 64(3), 243-249  
CODEN: JCLPDE; ISSN: 0160-6689

PUBLISHER: Physicians Postgraduate Press, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To assess the efficacy and tolerability of the 5-HT<sub>1A</sub> agonist gepirone in extended-release formulation (gepirone-ER) vs. placebo in patients with major depressive disorder. Patients aged 18 to 70 yr were eligible if they satisfied DSM-IV criteria for moderate-to-severe major depressive disorder and had a baseline 17-item Hamilton Rating Scale for Depression (HAM-D-17) score  $\geq 20$ . After a 4- to 7-day placebo washout period, patients were randomly assigned to receive either placebo (N = 106) or gepirone-ER (20-80 mg/day) (N = 103) for 56 days. Assessments were done at weeks 1-4, 6, and 8. Mean change from baseline in HAM-D-17 score within the intent-to-treat group (gepirone, N = 101; placebo, N = 103) was significantly greater with gepirone-ER than placebo at weeks 3 (p = .013) and 8 (p = .018). Significantly (p < .05) more patients receiving gepirone-ER than placebo were HAM-D-17 responders at weeks 3 (33.7% vs. 18.8%, resp.) and 4 (38.6% vs. 24.8%, resp.) and HAM-D-17 remitters at weeks 6 (24.8% vs. 13.9%, resp.) and 8 (28.7% vs. 14.9%, resp.). Mean change from baseline for HAM-D-25 total score was significantly (p  $\leq$  .05) greater with gepirone-ER at all assessments except week 6. The proportion of HAM-D-25 responders was significantly greater (p  $\leq$  .05) with gepirone-ER at weeks 3 and 8. Gepirone-ER was well tolerated: 9.8% of the gepirone-ER group and 2.8% of the placebo group discontinued due to adverse events. Common adverse events were considered mild and included dizziness, nausea, and insomnia. Gepirone-ER did not differ statistically compared with placebo in weight gain or sedation. Furthermore, preliminary evidence suggested that gepirone-ER may not be associated with sexual dysfunction. No serious adverse events occurred in gepirone-treated patients. Gepirone-ER is effective for the short-term treatment of major depressive disorder and is well tolerated.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:127244 CAPLUS

DOCUMENT NUMBER: 131:13770

TITLE: Modification of sexual behavior of Long-Evans male rats by drugs acting on the 5-HT1A receptor

AUTHOR(S): Rehman, Jamil; Kaynan, Ayal; Christ, George; Valcic, Mira; Maayani, Saul; Melman, Arnold

CORPORATE SOURCE: Department of Urology, Albert Einstein College of Medicine/Montefiore Medical Center, Bronx, NY, 10467, USA

SOURCE: Brain Research (1999), 821(2), 414-425

CODEN: BRREAP; ISSN: 0006-8993

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Modulation of the sexual behavior of male rats by the anxiolytic buspirone (S-20499) and its analog gepirone were compared to the effects of 8-OH-DPAT (or DPAT, a selective 5-HT1A reference agonist), and BMY-7378 (a selective 5-HT1A partial agonist). Long-Evans rats were used; modulation of copulatory behavior and alteration of penile reflexes were examined. Modulation of copulatory behavior was assessed by three indexes: frequency and length of intromission, and latency of ejaculation. DPAT, at doses of 1-8 mg/kg, reduced these three indexes in a time dependent manner such that the effects peaked at 45 min and normalized at 90 min. The dose-effect relation (assessed 45 min after DPAT injection) is bell-shaped with an ED50 approx. 1 mg/kg on the ascending limb of the curve. The effects of buspirone (2 mg/kg) and gepirone (2 mg/kg) on copulatory behavior were indistinguishable from control. BMY-7378 alone and in combination with these other 5-HT1A agonists reduced copulatory behavior, though not statistically significant. Penile reflexes, including number of erections, cups and flips, were inhibited by these agents: DPAT>buspirone>gepirone (inactive at 2 mg/kg). Furthermore, the latency period to erection was at least doubled by DPAT (2 mg/kg). Buspirone and gepirone, however, reduced the latency period to erection. BMY-7378 inhibited penile reflexes when administered alone and even more in combination with DPAT or buspirone. Two butyrophenone analogs, spiperone (a 5-HT1A and dopamine D2 antagonist) and haloperidol (a D2 antagonist), were also tested for their interaction with DPAT. Both of these drugs (at 0.25 mg/kg, 60 min after administration) reduced all indexes of penile reflexes and copulation. Furthermore, in combination with DPAT (2 mg/kg, 45 min), the effects were synergistic such that sexual activity came nearly to a standstill. These opposing effects on putatively brain originated copulatory behavior and spinal mediated penile reflexes indicate that the effects of buspirone and DPAT on sexual behavior in the male rat may be possible at different parts of the central nervous system. If a tentative shared target site by DPAT and buspirone is the 5-HT1A receptor, than the same 5-HT receptor sub-type at different locations (brain, raphe nuclei, spinal cord and autonomic ganglia) may modulate rat sexual behavior in opposing ways.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d kwic 16-17

L7 ANSWER 16 OF 19 USPATFULL on STN

SUMM . . . of serotonin selective reuptake inhibitor (SSRI) antidepressants, such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by

ethanol or cocaine abuse and related illnesses. Moreover, the compounds of this. . . have affinity for and agonists or partial agonist activity at brain 5-HT.sub.1A serotonin receptors. The 5-HT.sub.1A partial agonists buspirone and gepirone have demonstrated anxiolytic and antidepressant properties in clinical trials and the 5-HT.sub.1A full agonists flesinoxan has been shown to be. . .

L7 ANSWER 17 OF 19 USPATFULL on STN

SUMM . . . of serotonin selective reuptake inhibitor (SSRI) antidepressants, such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses. Moreover, the compounds of this. . . invention have affinity for agonist or partial agonist activity at brain 5-HT.sub.1A serotonin receptors. The 5-HT.sub.1A partial agonists buspirone and gepirone have demonstrated anxiolytic and antidepressant properties in clinical trials and the 5-HT.sub.1A full agonist flesinoxan has been shown to be. . .

=> s 5ht (A2) 1A

MISSING OPERATOR '5HT (A2'

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 5ht (2A) 1A

L8 702 5HT (2A) 1A

=> d his

(FILE 'HOME' ENTERED AT 13:07:47 ON 19 MAY 2008)

FILE 'REGISTRY' ENTERED AT 13:08:21 ON 19 MAY 2008

L1 3 S GEPIRONE

L2 1 S GEPIRONE/CN  
SEL RN NAME

FILE 'CAPLUS, USPATFULL, WPIDS' ENTERED AT 13:08:57 ON 19 MAY 2008

L3 1017 S E1-2

L4 77033 S SEXUAL OR IMPOTEN? OR ORGASM? OR AROUSAL

L5 262 S L3 AND L4

L6 20 S L3 (S) L4

L7 19 DUP REM L6 (1 DUPLICATE REMOVED)

L8 702 S 5HT (2A) 1A

=> s 14 and 18

L9 357 L4 AND L8

=> s 14 (S) 18

L10 72 L4 (S) L8

=> dup rem 110

PROCESSING COMPLETED FOR L10

L11 71 DUP REM L10 (1 DUPLICATE REMOVED)

=> d scan

L11 71 ANSWERS USPATFULL

AN 2002:254367 USPATFULL

TI Antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino [2,3-f]quinoline

NCL NCLM: 514/291.000; 514/267.000



NCLS: 514/248.000; 544/234.000; 546/090.000; 544/251.000; 546/080.000  
 IC [7]  
 ICM A61K003-4741  
 ICS C07D491-02  
 IPCI A61K0003-4741 [ICM,7]; C07D0491-02 [ICS,7]; C07D0491-00  
 [ICS,7,C\*]  
 IPCI-2 A61K0031-519 [ICM,7]; C07D0498-02 [ICS,7]; C07D0498-00 [ICS,7,C\*]  
 IPCR C07D0491-00 [I,C\*]; C07D0491-04 [I,A]; C07D0519-00 [I,C\*];  
 C07D0519-00 [I,A]

PAGE IMAGES NOT AVAILABLE FOR THIS PATENT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d ibib abs 70-71

L11 ANSWER 70 OF 71 USPATFULL on STN  
 ACCESSION NUMBER: 91:44876 USPATFULL  
 TITLE: 4-azatricyclo[4.3.1.1(3,8)]undecylaryl piperazines with  
 anxiolytic activity  
 INVENTOR(S): Kinney, William A., Churchville, PA, United States  
 Lee, Nancy E., Attleboro, MA, United States  
 PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY,  
 United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5021569		19910604
APPLICATION INFO.:	US 1990-537188		19900612 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Shen, Cecilia		
LEGAL REPRESENTATIVE:	Patton, Walter		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
LINE COUNT:	337		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 4-Azatricyclo[4.3.1.1(3,8)]undecylaryl piperazine compounds of this  
 invention demonstrated affinity for the 5-hydroxytryptamine-1A receptor  
 site (5-HT.sub.1A) and to a lesser extent, for dopamine-2 receptor sites  
 (D.sub.2). Compounds with such a profile provide a treatment for CNS  
 disorders such as anxiety, depression, and sexual disturbances without  
 EPS liability.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 71 OF 71 USPATFULL on STN  
 ACCESSION NUMBER: 91:8912 USPATFULL  
 TITLE: Tertiary alkyl functionalized piperazine derivatives  
 INVENTOR(S): Abou-Gharbia, Magid A., Glen Mills, PA, United States  
 Yardley, John P., Gulph Mills, PA, United States  
 Cliffe, Ian A., Slough, United Kingdom  
 PATENT ASSIGNEE(S): American Home Products Corp., New York, NY, United  
 States (U.S. corporation)  
 John Wyeth & Bro., Maidenhead, England (non-U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4988814		19910129
APPLICATION INFO.:	US 1989-428148		19891027 (7)

NUMBER	DATE
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PRIORITY INFORMATION: GB 1989-9209 19890422  
DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Shen, Cecilia  
LEGAL REPRESENTATIVE: Jackson, R. K.  
NUMBER OF CLAIMS: 37  
EXEMPLARY CLAIM: 1,6,7  
LINE COUNT: 1149

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula: ##STR1## in which R.sup.1 is alkyl; R.sup.2 and R.sup.3 are alkyl or taken together they are polymethylene, R.sup.2 and R.sup.3 complete a 5-norbornen-2-yl moiety; X is --CO.sub.2 --, --OCO--, --OCO.sub.2 --, --N(R.sup.7)CO--, --NHNHCO--, --ON(R.sup.7)CO--, --CON(R.sup.7)--, --N(R.sup.7)CO.sub.2 --, --OCON(R.sup.7)-- or --N(R.sup.7)CON(R.sup.8)--, wherein R.sup.7 and R.sup.8 are, independently, hydrogen, alkyl, phenyl, benzyl, substituted phenyl or substituted benzyl in which the substituents are halo, alkyl alkoxy, cyano, nitro or perhalomethyl; R.sup.4 is hydrogen or alkyl; R.sup.5 is hydrogen, alkyl, hydroxyalkyl, phenyl, benzyl, substituted phenyl or substituted benzyl in which the substituents are hydroxy, halo, alkyl alkoxy, trifluoromethyl, nitro, cyano, carbalkoxy, carboxamido, amino, alkylamino or dialkylamino; R.sup.6 is phenyl, benzyl, 2-, 3-, or 4-pyridinyl, 2-pyrimidinyl or 2-pyrazinyl any of which may be substituted by one or more hydroxy, halo, alkyl alkoxy, trifluoromethyl, nitro, cyano, carbalkoxy, carboxamido, amino, alkylamino or dialkylamino; n is one of the integers 0, 1, 2, 3, 4 or 5; or a pharmaceutically acceptable salt thereof, with the proviso that when X is --CON(R.sup.7)-- and R.sup.7 is alkyl, R.sup.6 is other than 2-pyrimidinyl, and when X is --CO.sub.2 -- and R.sup.1, R.sup.2 and R.sup.3 are methyl and n is 1, R.sup.6 is other than 3,5-di(trifluoromethyl)phenyl are antidepressant and/or anxiolytic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> s l11 not py>2003  
L12 45 L11 NOT PY>2003

=> focus  
PROCESSING COMPLETED FOR L12  
L13 45 FOCUS L12 1-

=> d ibiba bs 1-5  
'IBIBA' IS NOT A VALID FORMAT  
'BS' IS NOT A VALID FORMAT  
In a multifile environment, a format can only be used if it is valid in at least one of the files. Refer to file specific help messages or the STNGUIDE file for information on formats available in individual files.  
REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT):abs

L13 ANSWER 1 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN  
AN 1996-383722 [38] WPIDS  
CR 1991-007142; 1992-167071; 2002-138386  
AB US 5545755 A UPAB: 20050826  
8-Aminosulphonyl-2-aminotetralins of formula (I) are new: R = H or halo; R1 = 8-SO2NR7R8; R2, R3 = H, or A; provided that one is A.; R4, R5 = H, A, (CH2)mCOR6 or (CH2)mOR6; A = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, (CH2)m(3-8C)cycloalkyl, (CH2)m(3-8C)cycloalkenyl or (CH2)maryl; R6 - R8 = H, 1-4C alkyl, 1-4C alkenyl or 3-8C cycloalkyl; m = 0 - 4; and p = 0 - 1.

Also claimed are cpds. of formula (II).

USE - (I) are very selective 5HT-1A agonists with little or no dopaminergic activity. (I) are useful in the treatment of CNS disorders e.g. depression, anxiety, psychoses, obsessive-compulsive behaviour, dementia and sexual impotence. (I) have high oral potency and a long duration of action. Admin. is oral, rectal or parenteral. Dosage is 1-2000, pref. 5-500 mg/day, oral.

L13 ANSWER 2 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1995-294130 [39] WPIDS

AB EP 668273 A1 UPAB: 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and 5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

Member(0002)

ABEQ FR 2716193 A1 UPAB 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and 5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

Member(0008)

ABEQ JP 07252243 A UPAB 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and 5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

Member(0011)

ABEQ ZA 9501235 A UPAB 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and 5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

L13 ANSWER 3 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1996-020347 [02] WPIDS

AB WO 1995031988 A1 UPAB: 20050510

A pharmaceutical compsn. comprises (a) a cpd. having 5HT-1D antagonist activity, (b) a cpd. having 5HT-1A antagonist activity; and (c) a suitable

carrier.

USE - The compsn. is for the treatment or prevention of CNS disorders. The two active components may be administered concurrently or non-concurrently and in the form of a kit comprising each in separate dosage forms. A single cpd. may also be used if it exhibits both 5HT-1A and 5HT-1D antagonist activity. The compsn. may be used to treat e.g mood disorders, especially depression, anxiety disorders, memory disorders, eating behaviour disorders. Parkinson's disease and dementia therein, neuroleptic-induced Parkinsonism, tardive dyskinesia and other psychiatric disorders, endocrine disorders, vasospasm and hypertension; disorders in the G.I tract where changes in motility and secretion are involved; and sexual dysfunction.

ADVANTAGE - Administration of the combination is more effective than administration of a single 5HT-1D or 5HT-1A antagonist in treating CNS disorders.

Member(0003)

ABEQ JP 10500674 W UPAB 20050510

A pharmaceutical compsn. comprises (a) a cpd. having 5HT-1D antagonist activity, (b) a cpd. having 5HT-1A antagonist activity; and (c) a suitable carrier.

USE - The compsn. is for the treatment or prevention of CNS disorders. The two active components may be administered concurrently or non-concurrently and in the form of a kit comprising each in separate dosage forms. A single cpd. may also be used if it exhibits both 5HT-1A and 5HT-1D antagonist activity. The compsn. may be used to treat e.g mood disorders, esp. depression, anxiety disorders, memory disorders, eating behaviour disorders. Parkinson's disease and dementia therein, neuroleptic-induced Parkinsonism, tardive dyskinesia and other psychiatric disorders, endocrine disorders, vasospasm and hypertension; disorders in the G.I tract where changes in motility and secretion are involved; and sexual dysfunction.

ADVANTAGE - Administration of the combination is more effective than administration of a single 5HT-1D or 5HT-1A antagonist in treating CNS disorders.

L13 ANSWER 4 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1996-335424 [34] WPIDS

CR 1997-224945; 1997-279789; 1998-260529; 1998-446150; 2001-158375

AB EP 722941 A2 UPAB: 20060111

Hetero-oxy alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrrolyl, imidazolyl, pyridinyl, pyrazinyl, pyridazinyl or pyrimidinyl ring; X = H, phenyl, OH or OMe; provided that X = H or Ph when r = 0; R = NHR1 or a gp. of formulae (i)-(iii); the dotted line is an opt. double bond; R1 = piperidinyl, piperazino, morpholino or pyrrolyl, all substd. with 0-1 phenyl or benzyl or 0-4 1-3C alkyl, 1-3C alkoxy or halo, wherein the phenyl or benzyl is opt. substd. with 0-2 1-3C alkyl, halo, CF3 or 1-3C alkoxy; a gp. of formula (iv) opt. substd. by 0-1 oxo or 0-2 1-3C alkyl, 1-3C alkoxy or halo; or 1-4C alkyl substd. with pyrrolyl, furyl, thienyl, pyridinyl, morpholinyl, piperidinyl, tetrahydro-pyrrolyl, piperazinyl, tetrahydrofuryl, benzazepinyl, di-benzazepinyl or quinolinyl, all substd. with 0-4 1-3C alkyl, 1-3C alkoxy or halo; n, m = 4-5; R2 = H; OH; CN; 1-4C alkyl, or phenyl or benzyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; NH2 substd. with phenyl or benzyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF3; or is absent when the dotted line is a double bond; R3 = 1-4C alkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF3 or halo; dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or

morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO<sub>2</sub>, CF<sub>3</sub>, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF<sub>3</sub>; provided that R<sub>3</sub> is not halo- or CF<sub>3</sub>-substd. phenyl when R<sub>2</sub> = OH; or R<sub>2</sub>+R<sub>3</sub> = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF<sub>3</sub>; R<sub>5</sub> = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF<sub>3</sub>, 1-3C alkoxy or halo; or a gp. of formula (v); B=O or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro junction is not to an aromatic ring, substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R<sub>6</sub>, R<sub>7</sub> = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R<sub>6</sub>, R<sub>7</sub> complete a fluorenyl or dihydroanthracenyl ring; or R<sub>6</sub>, R<sub>7</sub> = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; R<sub>8</sub> = H or 1-3C alkyl.

USE - (I) are used in antagonising the 5HT-1A receptor. (I) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (I) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (I) can also be used to enhance the action of a serotonin re-uptake inhibitor. (I) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism and trichotillomania.

Member(0002)

ABEQ WO 1996022290 A1 UPAB 20060111

Hetero-oxy alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrrolyl, imidazolyl, pyridinyl, pyrazinyl, pyridazinyl or pyrimidinyl ring; X = H, phenyl, OH or OMe; provided that X = H or Ph when r = 0; R = NHR<sub>1</sub> or a gp. of formulae (i)-(iii); the dotted line is an opt. double bond; R<sub>1</sub> = piperidinyl, piperazino, morpholino or pyrrolyl, all substd. with 0-1 phenyl or benzyl or 0-4 1-3C alkyl, 1-3C alkoxy or halo, wherein the phenyl or benzyl is opt. substd. with 0-2 1-3C alkyl, halo, CF<sub>3</sub> or 1-3C alkoxy; a gp. of formula (iv) opt. substd. by 0-1 oxo or 0-2 1-3C alkyl, 1-3C alkoxy or halo; or 1-4C alkyl substd. with pyrrolyl, furyl, thienyl, pyridinyl, morpholinyl, piperidinyl, tetrahydro-pyrrolyl, piperazinyl, tetrahydrofuryl, benzazepinyl, di-benzazepinyl or quinolinyl, all substd. with 0-4 1-3C alkyl, 1-3C alkoxy or halo; n, m = 4-5; R<sub>2</sub> = H; OH; CN; 1-4C alkyl, or phenyl or benzyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; NH<sub>2</sub> substd. with phenyl or benzyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF<sub>3</sub>; or is absent when the dotted line is a double bond; R<sub>3</sub> = 1-4C alkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF<sub>3</sub> or halo;

dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO<sub>2</sub>, CF<sub>3</sub>, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF<sub>3</sub>; provided that R<sub>3</sub> is not halo- or CF<sub>3</sub>-substd. phenyl when R<sub>2</sub> = OH; or R<sub>2</sub>+R<sub>3</sub> = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF<sub>3</sub>; R<sub>5</sub> = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF<sub>3</sub>, 1-3C alkoxy or halo; or a gp. of formula (v); B=O or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro junction is not to an aromatic ring, substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R<sub>6</sub>, R<sub>7</sub> = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R<sub>6</sub>+R<sub>7</sub> complete a fluorenyl or dihydroanthracenyl ring; or R<sub>6</sub>, R<sub>7</sub> = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; R<sub>8</sub> = H or 1-3C alkyl.

USE - (I) are used in antagonising the 5HT-1A receptor. (I) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (I) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (I) can also be used to enhance the action of a serotonin re-uptake inhibitor. (I) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism and trichotillomania.

Member(0010)

ABEQ JP 10512861 W UPAB 20060111

Hetero-oxo alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrrolyl, imidazolyl, pyridinyl, pyrazinyl, pyridazinyl or pyrimidinyl ring; X = H, phenyl, OH or OMe; provided that X = H or Ph when r = 0; R = NHR<sub>1</sub> or a gp. of formulae (i)-(iii); the dotted line is an opt. double bond; R<sub>1</sub> = piperidinyl, piperazino, morpholino or pyrrolyl, all substd. with 0-1 phenyl or benzyl or 0-4 1-3C alkyl, 1-3C alkoxy or halo, wherein the phenyl or benzyl is opt. substd. with 0-2 1-3C alkyl, halo, CF<sub>3</sub> or 1-3C alkoxy; a gp. of formula (iv) opt. substd. by 0-1 oxo or 0-2 1-3C alkyl, 1-3C alkoxy or halo; or 1-4C alkyl substd. with pyrrolyl, furyl, thienyl, pyridinyl, morpholinyl, piperidinyl, tetrahydro-pyrrolyl, piperazinyl, tetrahydrofuryl, benzazepinyl, di-benzazepinyl or quinolinyl, all substd. with 0-4 1-3C alkyl, 1-3C alkoxy or halo; n, m = 4-5; R<sub>2</sub> = H; OH; CN; 1-4C alkyl, or phenyl or benzyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; NH<sub>2</sub> substd. with phenyl or benzyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF<sub>3</sub>; or is absent when the dotted line is a double bond; R<sub>3</sub> = 1-4C

alkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF<sub>3</sub> or halo; dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO<sub>2</sub>, CF<sub>3</sub>, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF<sub>3</sub>; provided that R<sub>3</sub> is not halo- or CF<sub>3</sub>-substd. phenyl when R<sub>2</sub> = OH; or R<sub>2</sub>+R<sub>3</sub> = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF<sub>3</sub>; R<sub>5</sub> = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF<sub>3</sub>, 1-3C alkoxy or halo; or a gp. of formula (v); B=O or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro junction is not to an aromatic ring, substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R<sub>6</sub>, R<sub>7</sub> = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R<sub>6</sub>+R<sub>7</sub> complete a fluorenyl or dihydroanthracenyl ring; or R<sub>6</sub>, R<sub>7</sub> = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; R<sub>8</sub> = H or 1-3C alkyl.

USE - (I) are used in antagonising the 5HT-1A receptor. (I) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (I) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (I) can also be used to enhance the action of a serotonin re-uptake inhibitor. (I) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism and trichotillomania.

L13 ANSWER 5 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN  
 AN 1997-279789 [25] WPIDS  
 CR 1996-335424; 1997-224945; 1998-260529; 1998-446150; 2001-158375  
 AB US 5627196 A UPAB: 20060113

See also EP722941-A1 (96-335424134). Fused heterocyclic compounds of formula (I) and their salts are new: r = 0-4; s = 0 or 1; D = a residue which completes a pyrrolyl group; X = H, phenyl, OH or OMe; R = a group of formula (i); R<sub>2</sub> = OH, H, CN, Q, substituted amino, or phenyl or benzyl (both optionally substituted) or R<sub>2</sub> is absent when the dotted line is a bond; R<sub>3</sub> = Q (optionally substituted by 1-2 phenyl (themselves optionally substituted), Q (substituted by hydroxyimino or OH), phenoxy (optionally substituted), dibenzocycloheptenyl, benzodioxolyl, benzodioxinyl or dibenzocyclohexenyl or phenyl, naphthyl, tetralinyl, tetrazolyl, piperidino or morpholino etc. (all optionally substituted); or R<sub>2</sub>+R<sub>3</sub> = a 1-4C alkylidene group (which is optionally substituted; R<sub>8</sub> = H or T; T =

1-3C alkyl; Q = 1-4C alkyl; provided that: (a) X is H or phenyl when r is 0; (b) R3 is not phenyl (substituted by halo or CF3) when R2 is OH.

USE - (I) are capable of affecting (especially antagonising) the 5HT-1A receptor. They may be used in treatment of withdrawal symptoms (e.g. from tobacco), anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders, obesity, substance abuse, obsessive-compulsive disease, panic disorder, migraine, pain (especially neuropathic pain), bulimia, pre-menstrual syndrome, late luteal syndrome, alcoholism, post-traumatic syndrome, age related dementia, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, sleep disorders, autism, mutism and trichotilomania.

=> d ibib abs 6-10

L13 ANSWER 6 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN  
ACCESSION NUMBER: 1997-224945 [20] WPIDS  
CROSS REFERENCE: 1996-335424; 1997-279789; 1998-260529; 1998-446150;  
2001-158375  
DOC. NO. CPI: C1997-072058 [20]  
TITLE: New benzo:pyrrole derivs. - are useful as e.g. 5HT-1A  
antagonists in treatment of e.g. migraine, pain,  
substance abuse, cognitive disorders, psychosis, anxiety  
etc.  
DERWENT CLASS: B02  
INVENTOR: AUDIA J E; KRUSHINSKI J H; RASMUSSEN K; ROCCO V P; SCHAUS  
J M; THOMPSON D C; WONG D T  
PATENT ASSIGNEE: (ELIL-C) LILLY & CO ELI  
COUNTRY COUNT: 1

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 5614523	A	19970325	(199720)*	EN	63	[0]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 5614523	A CIP of	US 1995-373823	19950117
US 5614523	A	US 1995-470512	19950606

PRIORITY APPLN. INFO: US 1995-470512 19950606  
US 1995-373823 19950117

AN 1997-224945 [20] WPIDS  
CR 1996-335424; 1997-279789; 1998-260529; 1998-446150; 2001-158375  
AB US 5614523 A UPAB: 20060113

Benzopyrrole derivs. of formula (I), and their salts are new. In (I), r = 0-4; s = 0 or 1; D = residue which combines with carbon atoms to which it is attached to complete pyrrolyl gp.; X = H, phenyl, OH or OMe; R = a gp. of formula (i): R5 = 1-6C alkyl; 1-4C acyl; T (substd. by benzodioxinyl or benzodioxolyl (both opt. substd. on phenyl ring by 1 or 2 T, TO or halo)); pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl (all opt. substd. by 1 or 2 T, CF3, TO or halo); or gp. of formula (ii): B = O or S; Y = residue which combines with atoms to which it is attached to complete triazolyl, imidazolyl, thiazolyl or pyrrolyl ring; T = 1-3C alkyl;



provided that X = H or phenyl when r = 0.

USE - (I) can affect (especially antagonise) the 5HT-1A receptor. They may be used in treatment of withdrawal symptoms, anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders, obesity, substance abuse, obsessive-compulsive disease, panic disorder, migraine, pain, social phobia, chronic fatigue syndrome, autism, mutism, trichotilomania, etc. Admin. is e.g. oral, transdermal, rectal or parenteral.

L13 ANSWER 7 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN  
ACCESSION NUMBER: 1999-045159 [04] WPIDS  
DOC. NO. CPI: C1999-014068 [04]  
TITLE: New hetero:aryl and aryl carboxamide derivatives - are  
5HT receptor antagonists used e.g. for treating CNS  
disorders such as anxiety, memory disorders and  
psychiatric disorders  
DERWENT CLASS: B05  
INVENTOR: WYMAN P A  
PATENT ASSIGNEE: (SMIK-C) SMITHKLINE BEECHAM PLC  
COUNTRY COUNT: 21

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 9850343	A2	19981112	(199904)*	EN	15	[0]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9850343	A2	WO 1998-EP2266	19980414

PRIORITY APPLN. INFO: GB 1997-7830 19970418

AN 1999-045159 [04] WPIDS

AB WO 1998050343 A2 UPAB: 20050828

Heteroaryl and aryl carboxamide derivatives of formula (I) and their salts are new. Ra = bicyclic aryl or bicyclic heterocyclyl containing 1-3 O, N or S, both substituted by R1 and 1-3 R2; or a group of formula R1-P3((R2)a)-A-P2((R3)b)- (i); R1 = H, halo, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, OH, hydroxyalkyl, hydroxyalkoxy, alkoxyalkoxy, alkanoyl, NO2, CF3, CN, SR9, SOR9, SO2R9, SO2NR10R11, CO2R10, CONR10R11, CO2NR10R11, CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cNR10COR11, (CH2)cCO2-alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11, CR10=NOR11 or CNR10=NOR11; R9-R11 = alkyl; c = 1-4; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, CN, CO2R10, CONR10R11 or NR10R11; P2, P3 = phenyl, bicyclic aryl, 5-7 membered heterocyclyl containing 1-3 N, O or S or a bicyclic heterocyclyl containing 1-3 N, O or S; provided that at least one of P2 and P3 = bicyclic group; A = bond, O, S(O)m, CH2 or NR4; m = 0-2; R4 = H or alkyl; R1' = a group R1 or 5-7 membered heterocyclyl containing 1-3 N, O or S and optionally substituted by alkyl, halo or alkanoyl; a,b = 1-3; L = -C(=V)-DG- or -DG-C(=V)-; V = O or S; D = N, C or CH; G = H or alkyl, provided that D = N or CH; or G + Rb1 = (CR16R17)t or (CR16R17)uJ; R16, R17 = H or alkyl; u = 0-3; J = O, S, CR16=CR17, CR16=N, CR16O, CR16S or CR16NR17; B = O, S(O)p, NR6 or CR7=CR8; p = 0-2; R6-R8, Rc, Rd = H or alkyl; Ry = NReRf or 5-7 membered heterocyclyl containing 1-3 O, S or N; Re, Rf = H, alkyl or aralkyl; Rb1, Rb2 = H, halo, OH, alkyl, CF3, alkoxy or aryl; n = 1-4; unless specified otherwise alkyl and alkanoyl moieties have 1-6C and cycloalkyl or cycloalkenyl moieties 3-6C.

USE - (I) are combined 5HT-1A, 5HT-1B

and 5HT-1D receptor antagonists. (I) are expected to be useful for the treatment and prophylaxis of CNS disorders, such as mood disorders, including depression, seasonal affective disorder and dysthymia; anxiety disorders including generalised anxiety, panic disorder, agoraphobia, social phobia, obsessive compulsive disorder and post-traumatic stress disorder; memory disorders including dementia, amnesic disorders and age-associated memory impairment; disorders of eating behaviour, including anorexia nervosa and bulimia nervosa; and sleep disorders. Other CNS disorders include motor disorders such as Parkinson's disease, dementia in Parkinson's disease, neuroleptic-induced Parkinsonism and tardivedyskinesias, as well as other psychiatric disorders. (I) may also be of use in the treatment of endocrine disorders such as hyperprolactinaemia; vasospasm (particularly in the cerebral vasculature); hypertension; disorders in the gastrointestinal tract involving changes in motility and secretion; sexual dysfunction; and hypothermia.

ADVANTAGE - (I) are expected to have a fast onset of action.

L13 ANSWER 8 OF 45 USPATFULL on STN

ACCESSION NUMBER: 94:104573 USPATFULL  
 TITLE: Piperazine derivatives  
 INVENTOR(S): Cliffe, Ian A., Slough, England  
 White, Alan C., Englefield Green, England  
 Ifill, Anderson D., Didcot, England  
 PATENT ASSIGNEE(S): John Wyeth & Brother, Limited, Maidenhead, England  
 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5369103		19941129
APPLICATION INFO.:	US 1992-861834		19920619 (7)
DISCLAIMER DATE:	20091208		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1990-22790	19901019
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Sripada, P. K.	
LEGAL REPRESENTATIVE:	Seifert, Arthur G.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	437	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L13 ANSWER 9 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2003:65418 USPATFULL  
 TITLE: Antidepressant azaheterocyclylmethyl derivatives of  
 2,3-dihydro-1,4-dioxino[2,3-f]quinoline  
 INVENTOR(S): Tran, Megan, Hoboken, NJ, UNITED STATES  
 Stack, Gary P., Ambler, PA, UNITED STATES  
 PATENT ASSIGNEE(S): Wyeth, Madison, NJ (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003045542	A1	20030306
	US 6599915	B2	20030729
APPLICATION INFO.:	US 2002-228744	A1	20020827 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-95505, filed on 12 Mar 2002, GRANTED, Pat. No. US 6458802		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-275564P	20010314 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Rebecca R. Barrett, 5 Giralda Farms, Madison, NJ, 07940	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1762	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Compounds of the formula: ##STR1##	

are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addiction, sexual dysfunction and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 10 OF 45 USPATFULL on STN  
 ACCESSION NUMBER: 2002:254367 USPATFULL  
 TITLE: Antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino [2,3-f]quinoline  
 INVENTOR(S): Tran, Megan, Hoboken, NJ, United States  
 Stack, Gary P., Ambler, PA, United States  
 PATENT ASSIGNEE(S): Wyeth, Madison, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6458802	B1	20021001
	US 2002165245	A1	20021107
APPLICATION INFO.:	US 2002-95505		20020312 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-275564P	20010314 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Aulakh, Charanjit S.	
LEGAL REPRESENTATIVE:	Barrett, Rebecca R.	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	1708	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Compounds of the formula: ##STR1##	

are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addiction, sexual dysfunction and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	110.59	124.00
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-2.40	-2.40

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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: May 16, 2008 (20080516/UP).

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.32	125.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.40

SESSION WILL BE HELD FOR 120 MINUTES  
STN INTERNATIONAL SESSION SUSPENDED AT 13:31:01 ON 19 MAY 2008